10/ 573,090

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NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 17:01:43 ON 05 FEB 2009

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 4 FEB 2009 HIGHEST RN 1100909-82-7
DICTIONARY FILE UPDATES: 4 FEB 2009 HIGHEST RN 1100909-82-7

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http://www.cas.org/support/stngen/stndoc/properties.html

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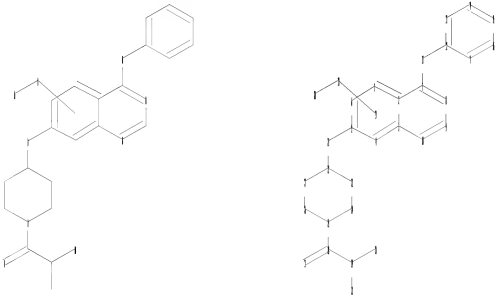

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11 18 20 21 28 29 30 31 32
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 22 23 24 25 26 27
chain bonds :
5-21 7-11 11-12 18-20 21-22 25-28 28-29 28-31 29-30 29-32
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
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exact/norm bonds :

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5-21  7-11  11-12  18-20  21-22  25-28  28-31  29-30
exact bonds :
22-23  22-27  23-24  24-25  25-26  26-27  28-29  29-32
normalized bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10  12-13  12-17  13-14  14-15
 15-16  16-17
isolated ring systems :
containing 1 : 12 : 22 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
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L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
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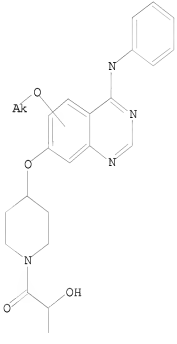


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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

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FULL SEARCH INITIATED 17:02:23 FILE 'REGISTRY'
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100.0% PROCESSED      187 ITERATIONS                              20 ANSWERS
SEARCH TIME: 00.00.01

L3           20 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 185.88     186.10

FILE 'CAPLUS' ENTERED AT 17:02:28 ON 05 FEB 2009
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FILE COVERS 1907 - 5 Feb 2009  VOL 150 ISS 6
FILE LAST UPDATED: 4 Feb 2009  (20090204/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L4            1 L3

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YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:         2005:260053  CAPLUS
DOCUMENT NUMBER:          142:336378
```

TITLE: Preparation of quinazoline derivatives as EGFR tyrosine kinase inhibitors

INVENTOR(S): Hennequin, Laurent Francois Andre; Halsall, Christopher Thomas

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026150	A1	20050324	WO 2004-GB3923	20040913
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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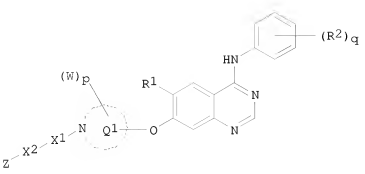
AU 2004272348	B2	20080904		
CA 2538884	A1	20050324	CA 2004-2538884	20040913
EP 1667991	A1	20060614	EP 2004-768469	20040913
EP 1667991	B1	20080514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004014489	A	20061114	BR 2004-14489	20040913
CN 1882567	A	20061220	CN 2004-80033525	20040913
JP 2007505872	T	20070315	JP 2006-526682	20040913
AT 395346	T	20080515	AT 2004-768469	20040913
ES 2305844	T3	20081101	ES 2004-768469	20040913
MX 2006002964	A	20060614	MX 2006-2964	20060315
US 20070043009	A1	20070222	US 2006-573090	20060315
NO 2006001322	A	20060615	NO 2006-1322	20060323
KR 2007023631	A	20070228	KR 2006-707266	20060414
HK 1091480	A1	20080815	HK 2006-111949	20061031

PRIORITY APPLN. INFO.:

GB 2003-21620	A	20030916
GB 2004-6163	A	20040319
WO 2004-GB3923	W	20040913

OTHER SOURCE(S): CASREACT 142:336378; MARPAT 142:336378

GI



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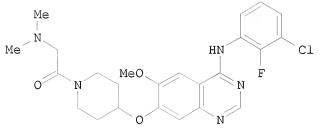


II

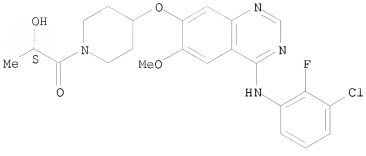
AB Title compds. I [R1 = H, OH, alkoxy, etc.; q = 1-5; each R2 independently = halo, CN, amino, nitro, etc.; Q1 = piperidinyl; p = 0-4; W independently = CF3, formyl, mercapto, etc.; X1 = CO, SO2; X2 = -(CR3R4)m-(Q2)n-(CR5R6)x-; m = 0-4; x = 0-4; n = 0-1; R3, R4, R5, R6 independently = H, alkyl, dialkylamino, etc.; Z = alkylamino, amino, OH, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for the treatment of certain cancers. Thus, e.g., II was prepared by etherification of 4-[3-chloro-2-fluoroanilino]-6-methoxyquinazolin-7-ol (preparation given) with tert-butyl-(4-methanesulfonyloxy)piperidine-1-carboxylate followed by deesterification and acetylation with N,N-dimethylaminoacetyl chloride. The activity of I was evaluated in different inhibition assays directed at inhibiting phosphorylation, cell proliferation, and in vivo tumor growth and revealed that all compds. of the invention possessed IC50 values of 0.001-5 μM or activity in the range of 1-200 mg/kg/day. I as tyrosine kinase inhibitors should prove useful in the treatment of diseases such as certain cancers mediated by erbB receptor tyrosine kinases, particularly EGFR tyrosine kinase.

IT 848439-61-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs. as inhibitors of EGFR tyrosine kinase)

RN 848439-61-2 CAPLUS

CN 1-Propanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 848439-62-3P 848439-67-8P 848439-70-3P
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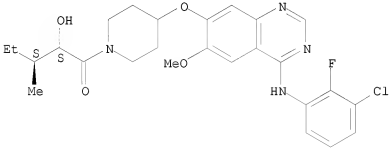
848439-96-3P 848439-97-4P 848439-98-5P
848439-99-6P 848440-00-6P 848440-01-7P
848440-02-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as inhibitors of EGFR tyrosine kinase)

RN 848439-62-3 CAPLUS

CN 1-Pentanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-3-methyl-, (2S,3S)- (CA INDEX NAME)

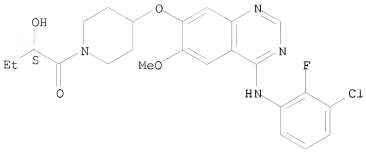
Absolute stereochemistry.



RN 848439-67-8 CAPLUS

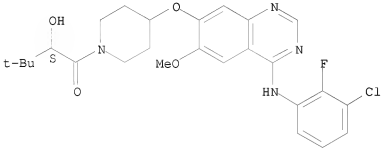
CN 1-Butanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



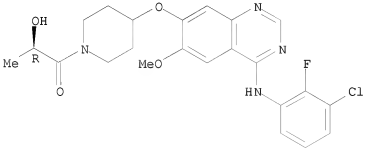
RN 848439-70-3 CAPLUS
CN 1-Butanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-3,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



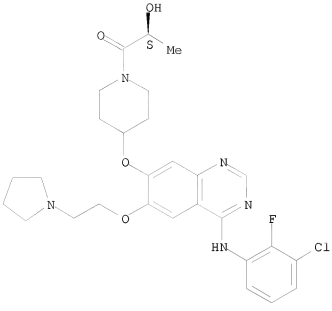
RN 848439-78-1 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848439-87-2 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-chloro-2-fluorophenyl)amino]-6-[2-(1-pyrrolidinyl)ethoxy]-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848439-88-3 CAPLUS
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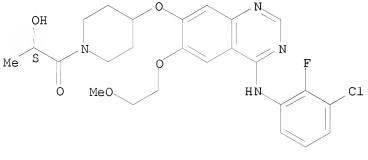
Absolute stereochemistry.



RN 848439-90-7 CAPLUS
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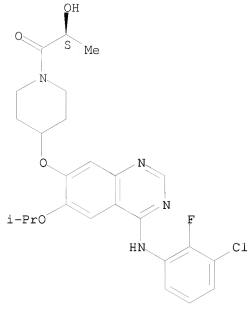
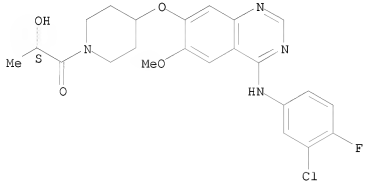
Absolute stereochemistry.



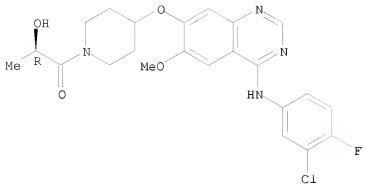
RN 848439-91-8 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-chloro-4-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



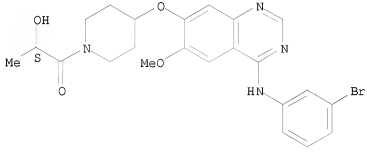
RN 848439-92-9 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-chloro-4-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



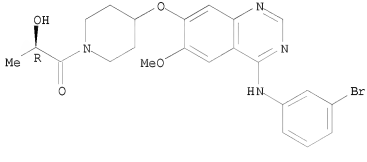
RN 848439-93-0 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-bromophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



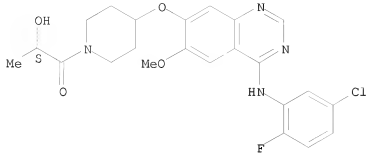
RN 848439-94-1 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-bromophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848439-95-2 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(5-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848439-96-3 CAPLUS
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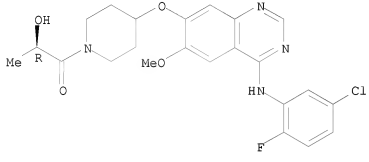
Absolute stereochemistry.



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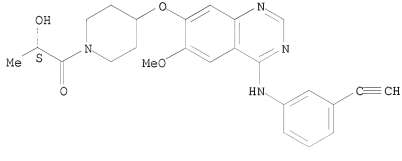
Absolute stereochemistry.



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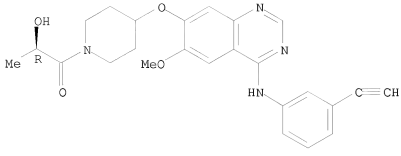
CN 1-Propanone, 1-[4-[[4-[(3-ethynylphenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



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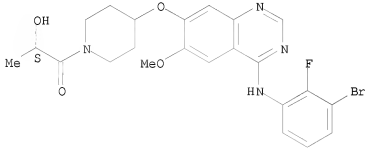
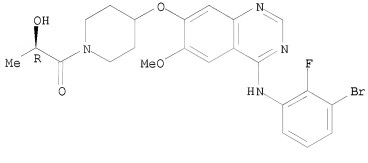
Absolute stereochemistry.



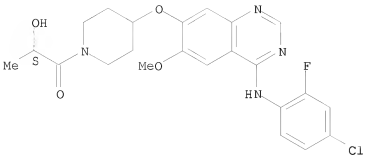
RN 848440-00-6 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(3-bromo-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



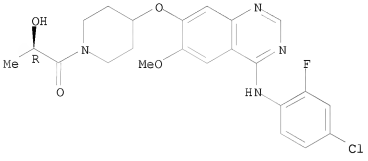
RN 848440-01-7 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(4-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 848440-02-8 CAPLUS
CN 1-Propanone, 1-[4-[[4-[(4-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]-1-piperidinyl]-2-hydroxy-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 17:01:43 ON 05 FEB 2009)

FILE 'REGISTRY' ENTERED AT 17:01:53 ON 05 FEB 2009
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 20 S L1 FULL

FILE 'CAPLUS' ENTERED AT 17:02:28 ON 05 FEB 2009
L4 1 S L3

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST		XXXXXXXXXXXXXXX
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.82	-0.82

STN INTERNATIONAL LOGOFF AT 17:02:52 ON 05 FEB 2009